

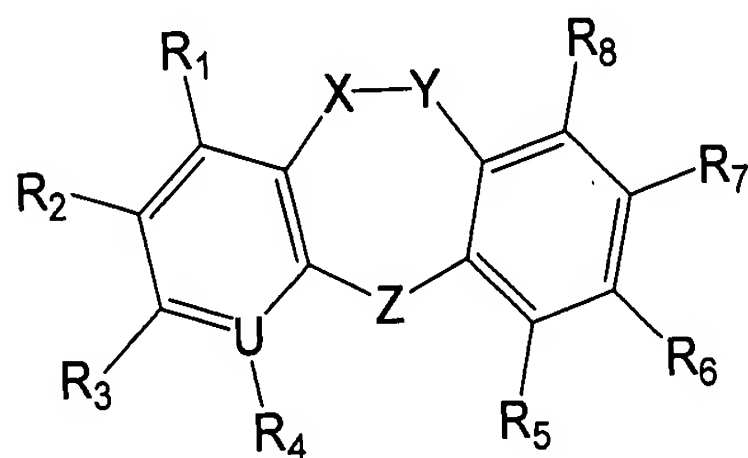
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Amendments to the Claims:

This listing of claims replaces all prior versions and listings of claims in the application:

Listing of Claims:

1. (currently amended) A compound represented by formula (1),



Formula 1

wherein

when the X-Y bond is a single bond, X and Y, ~~which may be the same or different~~, are each independently ~~any one~~ selected from the group consisting of:

CW_1W_2 (wherein W_1 and W_2 , ~~which may be the same or different~~, are each independently selected from the group consisting of ~~any~~ one of a hydrogen atom, a halogen, a hydroxyl group, a lower alkyl group, a substituted lower alkyl group, a lower alkoxy group, a cycloalkyl group and a cycloalkenyl group),

$C=O$, and

$C=NOW_3$ (wherein W_3 is a hydrogen atom or a lower alkyl group);

when the X-Y bond is a double bond, X and Y, ~~which may be the same or different~~, are each independently CW_4 (wherein W_4 is any one of a hydrogen atom, a halogen, a hydroxyl

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group, a lower alkyl group, a substituted lower alkyl group, a lower alkoxy group or an acyloxy group;

Z is ~~any one selected from O, S, S=O and SO₂~~;

U is C ~~or N~~;

R₁ to R₄, ~~which may be the same or different~~, are each independently ~~any one~~ selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group, a substituted cycloalkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group, a trihalomethyl group, V₁W₅ (~~wherein V₁ is any one of O, S, S=O and SO₂; and W₅ is any one of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylcarbonyl group, an acyloxy group and a trihalomethyl group~~), a nitro group, an amino group, a substituted amino group, a cyano group, an acyl group, an acylamino group, a substituted acyl group, a substituted acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle (~~when U is N, R₄ does not exist in some cases~~)
wherein

V₁ is any one of O, S, S=O or SO₂.

W₅ is any one of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylcarbonyl group, an acyloxy group or a trihalomethyl group, and

R₅ to R₈, ~~which may be the same or different~~, are each independently ~~any one~~ selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower

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alkylcarbonyl group, a trihalomethyl group, V_2W_7 (~~wherein V_2 is any one selected from O, S, S=O and SO_2 ; and W_7 is any one selected from a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group and a trihalomethyl group~~), a nitro group, an amino group, a substituted amino group, an acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle; wherein

V_2 is one of O, S, S=O or SO_2 ,

W_7 is one of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group or a trihalomethyl group,

wherein:

when X is CHW_0 , CW_0W_0 or CW_0 provided that at least one of R_5 to R_8 is a hydroxyl group, provided that at least one of R_5 , R_7 or R_8 is a hydroxy group when the X-Y bond is $CH(C_2H_5)CO$ and R_6 is a hydroxyl group ~~when X is CHW_0 , CW_0W_0 or CW_0 (wherein W_0 is any one selected from a lower alkyl group and a substituted lower alkyl group) and~~

when X is other than CHW_0 , CW_0W_0 or CW_0 at least one of R_5 to R_8 is a hydroxyl group and, at the same time, at least one of the other R_5 to R_8 is a group of OR {(wherein R is any one selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylsilyl group) when X is other than CHW_0 , CW_0W_0 or CW_0 (wherein

W_0 is any one selected from the group consisting of a lower alkyl group and a substituted lower alkyl group) and

R is any one selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylsilyl group; and

~~in addition, when the X-Y is CH_2CH_2 , $CHBrCH_2$, CH_2CO , $CHBrCO$, $CH=CH$, $CH=COCOCH_3$ or $CH=COCH_3$,~~

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at least one of R₁ to R₄ is an aromatic ring, a substituted aromatic ring, a heterocycle or a substituted heterocycle (provided that when both R₆ and R₇ are hydroxyl groups, any one of R₁ to R₄ is not a phenyl group); or

at least one of R₁ to R₄ is SW₈ (~~wherein W₈ is a lower alkyl group or a substituted lower alkyl group~~) or S(O)W₉ (wherein W₈ and W₉ independently is are a lower alkyl group or a substituted lower alkyl group) (provided that R₇ is not a hydrogen atom when Z is O); or

R₂ is either a lower alkyl group or a substituted lower alkyl group and, at the same time, R₈ is a hydroxyl group (provided that the number of carbon atoms of the lower alkyl group is 3 or more when Z is O); or

at least one of R₁ to R₄ is a lower alkylcarbonyl group (provided that the number of carbon atoms of the lower alkyl group is 3 or more), a cycloalkylcarbonyl group or a cycloalkenylcarbonyl group and, at the same time, R₈ is a hydroxyl group; or

at least one of R₁ to R₄ is a cyano group; or

~~at least one of R₁ to R₄ is a halogen and, at the same time, Z is any one of S, S=O and SO₂; or~~

~~— R₅ and R₆ are hydroxyl groups and, at the same time, Z is S; or~~

at least one of R₁ to R₄ is -C(=NOR)CH₃ (wherein R is a hydrogen atom or a lower alkyl group), an optical isomer thereof, a conjugate thereof or a pharmaceutically acceptable salt thereof.

2. (original) The compound according to claim 1, wherein R₆ is a hydroxyl group.
3. (original) The compound according to claim 1, wherein R₆ and R₇ are hydroxyl groups.
4. (original) The compound according to claim 1, wherein R₆ and R₈ are hydroxyl groups.

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5. (original) The compound according to claim 1, wherein R₅ and R₆ are hydroxyl groups.

6. (currently amended) The compound according to ~~any one of claims~~ claim 1 to 5, wherein the X-Y bond is a single bond and X is CW₁W₂ (~~wherein at least one of W₁ and W₂ is any one selected from a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group~~) or the X-Y bond is a double bond and X is CW (~~wherein W is any one selected a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group~~), wherein

at least one of W₁ and W₂ is selected from the group consisting of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group and

W is one of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group or a cycloalkenyl group.

7. (currently amended) The compound according to ~~any one of claims~~ claim 1 to 6, wherein Y is CO.

8. (currently amended) The compound according to claim 6 ~~or claim 7~~, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group ~~and or~~ a *tert*-butyl group.

9. (currently amended) The compound according to ~~any one of claims~~ claim 1 to 5, wherein R₂ or R₃ is any one of a heterocycle, a substituted heterocycle, an aromatic ring ~~and or~~ a substituted aromatic ring.

10. (currently amended) The compound according to ~~any one of claims~~ claim 1 to 5, wherein the heterocycle is an aromatic heterocycle.

11. (currently amended) The compound according to ~~any one of claims~~ claim 1 to 5, wherein R₂ or R₃ is SW₈ (~~wherein W₈ is a lower alkyl group or a substituted lower alkyl group~~) or S(O)W₉ (~~wherein W₉ is a lower alkyl group or a substituted alkyl group~~), wherein

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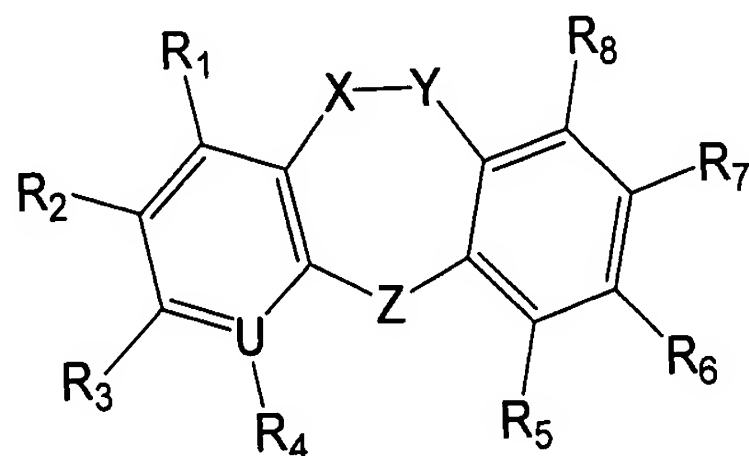
W₈ is a lower alkyl group or a substituted lower alkyl group, and

W₉ is a lower alkyl group or a substituted alkyl group.

12. (currently amended) The compound according to claim 11, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

13-16. (canceled)

17. (currently amended) A method of preparing a compound represented by formula (1),



Formula 1

wherein

when the X-Y bond is a single bond, X and Y, ~~which may be the same or different~~, are each independently ~~any one~~ selected from the group consisting of:

CW₁W₂ (wherein W₁ and W₂, ~~which may be the same or different~~, are each independently selected from the group consisting of ~~any~~ one of a hydrogen atom, a halogen, a hydroxyl group, a lower alkyl group, a substituted lower alkyl group, a lower alkoxy group, a cycloalkyl group and a cycloalkenyl group),

C=O, and

C=NOW₃ (wherein W₃ is a hydrogen atom or a lower alkyl group);

when the X-Y bond is a double bond, X and Y, ~~which may be the same or different~~, are each independently CW₄ (wherein W₄ is any one of a hydrogen atom, a halogen, a hydroxyl

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group, a lower alkyl group, a substituted lower alkyl group, a lower alkoxy group or an acyloxy group);

Z is ~~any one selected from~~ O, S, S=O and SO₂;

U is C ~~or~~ N;

R₁ to R₄, ~~which may be the same or different~~, are each independently ~~any one~~ selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group, a substituted cycloalkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group, a trihalomethyl group, V₁W₅ (~~wherein V₁ is any one of O, S, S=O and SO₂; and W₅ is any one of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylcarbonyl group, an acyloxy group and a trihalomethyl group~~), a nitro group, an amino group, a substituted amino group, a cyano group, an acyl group, an acylamino group, a substituted acyl group, a substituted acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle (~~when U is N, R₄ does not exist in some cases~~)

wherein

V₁ is any one of O, S, S=O or SO₂

W₅ is any one of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylcarbonyl group, an acyloxy group or a trihalomethyl group, and

R₅ to R₈, ~~which may be the same or different~~, are each independently ~~any one~~ selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkenyl group, a substituted lower alkenyl group, a lower alkynyl group, a substituted lower alkynyl group, a halogen, a lower alkylcarbonyl group, a substituted lower

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alkylcarbonyl group, a trihalomethyl group, V_2W_7 (wherein V_2 is any one selected from O, S, S=O and SO_2 ; and W_7 is any one selected from a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group and a trihalomethyl group), a nitro group, an amino group, a substituted amino group, an acylamino group, an aromatic ring, a substituted aromatic ring, a heterocycle and a substituted heterocycle; wherein

V_2 is one of O, S, S=O or SO_2 .

W_7 is one of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group, a substituted lower alkylcarbonyl group or a trihalomethyl group.

wherein:

when X is CHW_0 , CW_0W_0 or CW_0 provided that at least one of R_5 to R_8 is a hydroxyl group, [provided that at least one of R_5 , R_7 or R_8 is a hydroxy group when the X-Y bond is $CH(C_2H_5)CO$ and R_6 is a hydroxyl group] when X is CHW_0 , CW_0W_0 or CW_0 (wherein W_0 is any one selected from a lower alkyl group and a substituted lower alkyl group) and

when X is other than CHW_0 , CW_0W_0 or CW_0 at least one of R_5 to R_8 is a hydroxyl group and, at the same time, at least one of the other R_5 to R_8 is a group of OR (wherein R is any one selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylsilyl group) when X is other than CHW_0 , CW_0W_0 or CW_0 (wherein

W_0 is any one selected from the group consisting of a lower alkyl group and a substituted lower alkyl group) and

R is any one selected from the group consisting of a hydrogen atom, a lower alkyl group, a substituted lower alkyl group, a lower alkylcarbonyl group and a substituted lower alkylsilyl group; and

in addition, when the X-Y is CH_2CH_2 , $CHBrCH_2$, CH_2CO , $CHBrCO$, $CH=CH$,

$CH=COCOCH_3$ or $CH=COCH_3$,

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at least one of R₁ to R₄ is an aromatic ring, a substituted aromatic ring, a heterocycle or a substituted heterocycle (provided that when both R₆ and R₇ are hydroxyl groups, any one of R₁ to R₄ is not a phenyl group); or

at least one of R₁ to R₄ is SW₈ (~~wherein W₈ is a lower alkyl group or a substituted lower alkyl group~~) or S(O)W₉ (wherein W₈ and W₉ independently is are a lower alkyl group or a substituted lower alkyl group) (provided that R₇ is not a hydrogen atom when Z is O); or

R₂ is either a lower alkyl group or a substituted lower alkyl group and, at the same time, R₈ is a hydroxyl group (provided that the number of carbon atoms of the lower alkyl group is 3 or more when Z is O); or

at least one of R₁ to R₄ is a lower alkylcarbonyl group (provided that the number of carbon atoms of the lower alkyl group is 3 or more), a cycloalkylcarbonyl group or a cycloalkenylcarbonyl group and, at the same time, R₈ is a hydroxyl group; or

at least one of R₁ to R₄ is a cyano group; or

~~at least one of R₁ to R₄ is a halogen and, at the same time, Z is any one of~~

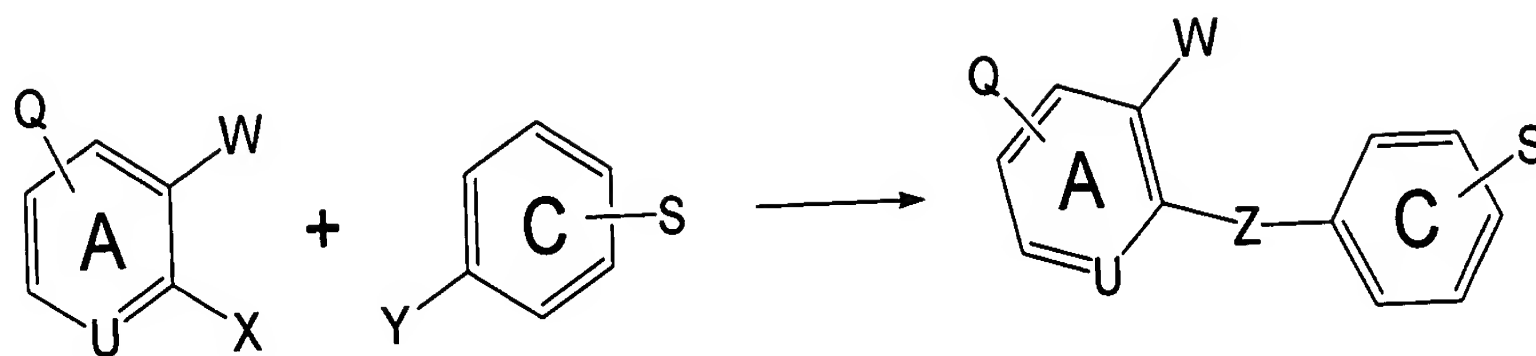
~~S, S=O and SO₂; or~~

~~— R₅ and R₆ are hydroxyl groups and, at the same time, Z is S; or~~

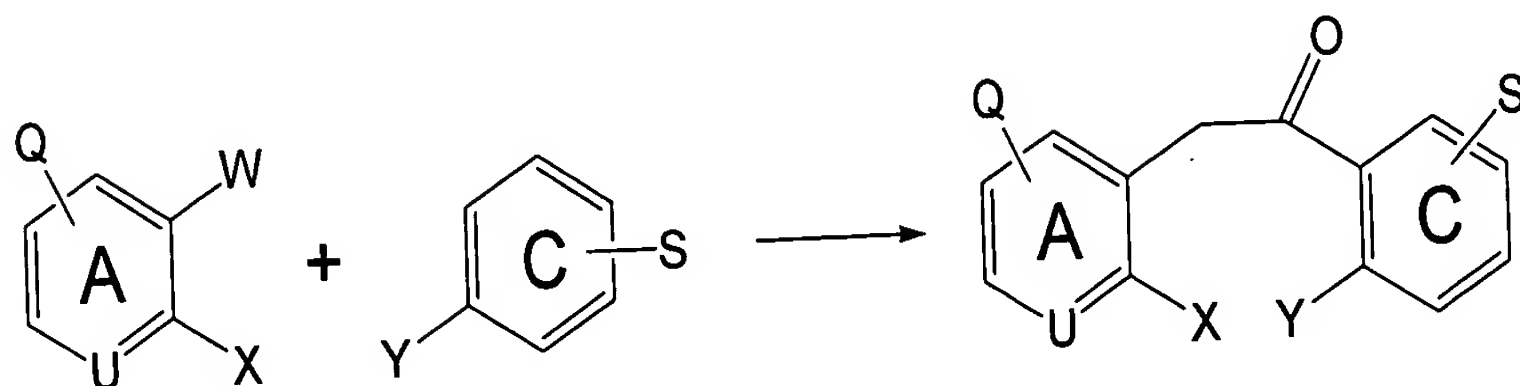
at least one of R₁ to R₄ is -C(=NOR)CH₃ (wherein R is a hydrogen atom or a lower alkyl group), an optical isomer thereof, a conjugate thereof or a pharmaceutically acceptable salt thereof,

which comprises, in any order, the reaction steps of (1) bonding a ring A to a ring C by the Ullmann reaction as shown in formula 2 and (2) bonding a ring A to a ring C by the Friedel-Crafts reaction or ~~photoreaction~~ photoreaction as shown in formula 3,

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Formula 2



Formula 3

wherein

Q, S and W are each any ~~substituent~~ substituent;

U is C ~~or~~ N;

one of X and Y is ~~an~~ a leaving group and the other is a nucleophilic group; and

Z is ~~any one of~~ O, S, SO and SO₂.

18. (currently amended) The method according to claim 17 further comprising at least one ~~step of the~~ a step of carbon atom increasing reaction, ~~the step of~~ a conversion reaction of a substituent, ~~the step of~~ an introduction reaction of a substituent, ~~the step of~~ a removal of the protection of a substituent, ~~the step of~~ forming a salt, and ~~the step of~~ performing optical resolution.

19. (currently amended) A pharmaceutical composition comprising an effective amount of the compound ~~described in any one of claim~~ claims 1 to 16 and a pharmaceutically acceptable carrier or diluent.

20. (currently amended) The pharmaceutical composition according to claim 19 wherein the pharmaceutical composition ~~which~~ utilizes the tracheal smooth muscles relaxing action of the compound.

21. (currently amended) The pharmaceutical composition according to claim 19 wherein the pharmaceutical composition ~~which~~ utilizes the ~~inhibitory~~ inhibitory effect on airway hypersensitivity of the compound.

22. (currently amended) The pharmaceutical composition according to claim 19 wherein the pharmaceutical composition ~~which~~ utilizes the inhibitory effect on inflammatory cells infiltration of the compound.

23. (currently amended) The pharmaceutical composition according to claim 19 wherein the pharmaceutical composition ~~which~~ is used as the ~~antiasthmatic~~ anti-asthmatic drug.

24 -25. (canceled)

26. (new) The compound of claim 1 wherein X and Y are the same.

27. (new) The compound of claim 1 wherein X and Y are different.

28. (new) The compound of claim 1 wherein W_1 and W_2 are the same.

29. (new) The compound of claim 1 wherein W_1 and W_2 are different.

30. (new) The compound of claim 1 wherein R_1 to R_4 are the same.

31. (new) The compound of claim 1 wherein R_1 to R_4 are different.

32. (new) The compound of claim 1 wherein R_5 to R_8 are the same.

33. (new) The compound of claim 1 wherein R_5 to R_8 are different.

34. (new) The compound according to claim 2, wherein the X-Y bond is a single bond and X is CW_1W_2 or the X-Y bond is a double bond and X is CW, wherein at least one of W_1 and W_2 is selected from the group consisting of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group and

W is one of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group or a cycloalkenyl group.

35. (new) The compound according to claim 3, wherein the X-Y bond is a single bond and X is CW_1W_2 or the X-Y bond is a double bond and X is CW, wherein

at least one of W_1 and W_2 is selected from the group consisting of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group and

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W is one of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group or a cycloalkenyl group.

36. (new) The compound according to claim 4, wherein the X-Y bond is a single bond and X is CW_1W_2 or the X-Y bond is a double bond and X is CW, wherein at least one of W_1 and W_2 is selected from the group consisting of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group and W is one of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group or a cycloalkenyl group.

37. (new) The compound according to claim 5, wherein the X-Y bond is a single bond and X is CW_1W_2 or the X-Y bond is a double bond and X is CW, wherein at least one of W_1 and W_2 is selected from the group consisting of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group and a cycloalkenyl group and W is one of a lower alkyl group, a substituted lower alkyl group, a cycloalkyl group or a cycloalkenyl group.

38. (new) The compound according to claim 2, wherein Y is CO.

39. (new) The compound according to claim 3, wherein Y is CO.

40. (new) The compound according to claim 4, wherein Y is CO.

41. (new) The compound according to claim 5, wherein Y is CO.

42. (new) The compound according to claim 6, wherein Y is CO.

43. (new) The compound according to claim 1, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

44. (new) The compound according to claim 2, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

45. (new) The compound according to claim 3, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

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46. (new) The compound according to claim 4, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

47. (new) The compound according to claim 5, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

48. (new) The compound according to claim 6, wherein the lower alkyl group is any one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group or a *tert*-butyl group.

49. (new) The compound according to claim 2, wherein R₂ or R₃ is any one of a heterocycle, a substituted heterocycle, an aromatic ring or a substituted aromatic ring.

50. (new) The compound according to claim 3, wherein R₂ or R₃ is any one of a heterocycle, a substituted heterocycle, an aromatic ring or a substituted aromatic ring.

51. (new) The compound according to claim 4, wherein R₂ or R₃ is any one of a heterocycle, a substituted heterocycle, an aromatic ring or a substituted aromatic ring.

52. (new) The compound according to claim 5, wherein R₂ or R₃ is any one of a heterocycle, a substituted heterocycle, an aromatic ring or a substituted aromatic ring.

53. (new) The compound according to claim 2, wherein R₂ or R₃ is SW₈ or S(O)W₉,
wherein

W₈ is a lower alkyl group or a substituted lower alkyl group, and

W₉ is a lower alkyl group or a substituted alkyl group.

54. (new) The compound according to claim 3, wherein R₂ or R₃ is SW₈ or S(O)W₉,
wherein

W₈ is a lower alkyl group or a substituted lower alkyl group, and

W₉ is a lower alkyl group or a substituted alkyl group.

55. (new) The compound according to claim 4, wherein R₂ or R₃ is SW₈ or S(O)W₉,
wherein

W₈ is a lower alkyl group or a substituted lower alkyl group, and

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W₉ is a lower alkyl group or a substituted alkyl group.

56. (new) The compound according to claim 5, wherein R₂ or R₃ is SW₈ or S(O)W₉,

wherein

W₈ is a lower alkyl group or a substituted lower alkyl group, and

W₉ is a lower alkyl group or a substituted alkyl group.

57. (new) The compound according to claim 56, wherein the lower alkyl group is an one of a methyl group, an ethyl group, a *n*-propyl group, an isopropyl group, an *n*-butyl group, a *sec*-butyl group, an isobutyl group, or a *tert*-butyl group.

58. (new) A pharmaceutical composition comprising an effective amount of the compound of claim 2 and a pharmaceutically acceptable carrier or diluent.

59. (new) A pharmaceutical composition comprising an effective amount of the compound of claim 3 and a pharmaceutically acceptable carrier or diluent.

60. (new) A pharmaceutical composition comprising an effective amount of the compound of claim 4 and a pharmaceutically acceptable carrier or diluent.

61. (new) A pharmaceutical composition comprising an effective amount of the compound of claim 5 and a pharmaceutically acceptable carrier or diluent.

62. (new) A pharmaceutical composition comprising an effective amount of the compound of claim 6 and a pharmaceutically acceptable carrier or diluent.

63. (new) A pharmaceutical composition comprising an effective amount of the compound of claim 7 and a pharmaceutically acceptable carrier or diluent.

64. (new) A pharmaceutical composition comprising an effective amount of the compound of claim 8 and a pharmaceutically acceptable carrier or diluent.

65. (new) A pharmaceutical composition comprising an effective amount of the compound of claim 9 and a pharmaceutically acceptable carrier or diluent.

66. (new) A pharmaceutical composition comprising an effective amount of the compound of claim 10 and a pharmaceutically acceptable carrier or diluent.

67. (new) A pharmaceutical composition comprising an effective amount of the compound of claim 11 and a pharmaceutically acceptable carrier or diluent.

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68. (new) A pharmaceutical composition comprising an effective amount of the compound of claim 12 and a pharmaceutically acceptable carrier or diluent.